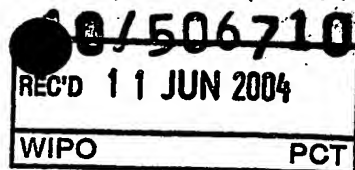


## PCT



## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PCT 21087		FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/US03/11022	International filing date (day/month/year) 11 April 2003 (11.04.2003)	Priority date (day/month/year) 16 April 2002 (16.04.2002)	
International Patent Classification (IPC) or national classification and IPC IPC(7): A61K 31/496; C07D 401/14. and US Cl.: 514/253.07; 544/363.			
Applicant MERCK & CO., INC.			
<p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>7</u> sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e., sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of <u>0</u> sheets.</p>			
<p>3. This report contains indications relating to the following items:</p> <p>I <input checked="" type="checkbox"/> Basis of the report</p> <p>II <input type="checkbox"/> Priority</p> <p>III <input type="checkbox"/> Non-establishment of report with regard to novelty, inventive step and industrial applicability</p> <p>IV <input type="checkbox"/> Lack of unity of invention</p> <p>V <input checked="" type="checkbox"/> Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI <input type="checkbox"/> Certain documents cited</p> <p>VII <input type="checkbox"/> Certain defects in the international application</p> <p>VIII <input checked="" type="checkbox"/> Certain observations on the international application</p>			
Date of submission of the demand 24 June 2003 (24.06.2003)		Date of completion of this report 15 May 2004 (15.05.2004)	
Name and mailing address of the IPEA/US Mail Stop PCT, Attn: IPEA/US Commissioner for Patents P.O. Box 1450 Alexandria, Virginia 22313-1450 Facsimile No. (703) 305-3230		Authorized officer Emily Bernhardt Telephone No. (571) 272-1600	

**I. Basis of the report****1. With regard to the elements of the international application:\***

- ☒ the international application as originally filed.
- ☒ the description:  
pages 1-41 as originally filed  
pages NONE, filed with the demand  
pages NONE, filed with the letter of \_\_\_\_\_.
- ☒ the claims:  
pages 42-50, as originally filed  
pages NONE, as amended (together with any statement) under Article 19  
pages NONE, filed with the demand  
pages NONE, filed with the letter of \_\_\_\_\_.
- ☒ the drawings:  
pages 1-5, as originally filed  
pages NONE, filed with the demand  
pages NONE, filed with the letter of \_\_\_\_\_.
- ☐ the sequence listing part of the description:  
pages NONE, as originally filed  
pages NONE, filed with the demand  
pages NONE, filed with the letter of \_\_\_\_\_.

**2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.**

These elements were available or furnished to this Authority in the following language \_\_\_\_\_ which is:

- ☐ the language of a translation furnished for the purposes of international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of the translation furnished for the purposes of international preliminary examination (under Rules 55.2 and/or 55.3).

**3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:**

- ☐ contained in the international application in printed form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

**4. ☐ The amendments have resulted in the cancellation of:**

- ☐ the description, pages NONE
- ☐ the claims, Nos. NONE
- ☐ the drawings, sheets/fig NONE

**5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).\*\***

\* Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17).

\*\* Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.  
PCT/US03/11022

## V. Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

### 1. STATEMENT

Novelty (N)	Claims <u>1-45</u>	YES
	Claims <u>NONE</u>	NO
Inventive Step (IS)	Claims <u>1-45</u>	YES
	Claims <u>NONE</u>	NO
Industrial Applicability (IA)	Claims <u>1-45</u>	YES
	Claims <u>NONE</u>	NO

### 2. CITATIONS AND EXPLANATIONS

Claims 1-45 meet the criteria set out in PCT Article 33(2)-(3), because the prior art, namely WO'025 cited in the Search Report, does not teach or fairly suggest one or more polymorphic forms of hydrochloride salt claimed herein much less preparations thereof.

Claims 1-45 meet the criteria set out in PCT Article 33(4), and thus possess industrial applicability because the subject matter claimed can be made or used in industry. A variety of uses are described based on instant compounds' ability as inhibitors of tyrosine kinases.

**VIII. Certain observations on the international application**

The following observations on the clarity of the claims, description, and drawings or on the questions whether the claims are fully supported by the description, are made:

Claims 1-45 are objected to under PCT Rule 66.2(a)(v) as lacking clarity under PCT Article 6 because the claims are indefinite for the following reason(s):

1. It should be made clear throughout the independent claims which form out of the many described in the description is being particularly claimed.
2. What is the difference in scope between claims 1 vs 2 or 3 vs 4 or 5 vs 6? In all of these sets of claims it appears the same compound is being claimed only further characterized.
3. It is not seen how claim 8 differs materially from 7 since the only isolation of the solid described in the description pages is by way of the collection step in 8.

# INTERNATIONAL COOPERATION TREATY

## PCT

### NOTE OF INFORMAL COMMUNICATION WITH THE APPLICANT

(PCT Rule 66.6)

International application No. PCT/US03/11022	Applicant's or agent's file reference PCT 21087	Date of informal communication (day/month/year) 14 May 2004 (14.05.2004)
Applicant MERCK & CO., INC.		

<u>Communication</u>  <input checked="" type="checkbox"/> by telephone  <input type="checkbox"/> personal	<u>Participants</u>  <input type="checkbox"/> Applicant: <input checked="" type="checkbox"/> Agent: Mr. Matthew Leff <input checked="" type="checkbox"/> Examiner(s): Emily Bernhardt	<input type="checkbox"/> Identity checked	<input checked="" type="checkbox"/> authorization checked	<input type="checkbox"/> personally known
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Summary of communication:

The examiner requested permission to go directly to a 409 despite the need to make negative statements and/or observations on the claims. Mr. Leff authorized permission to do so.

☐ An extension of time limit is granted (Form PCT/IPEA/427).

☒ A copy of this note is being sent to the applicant with Form PCT/IPEA/429.

PCT/IPEA/424.

Name and mailing address of the IPEA/US Mail Stop PCT, Attn: IPEA/US Commissioner for Patents P.O. Box 1450 Alexandria, Virginia 22313-1450 Facsimile No. (703) 305-3230	Authorized officer Emily Bernhardt <i>Jamie Ford</i> Telephone No. (571) 272-1600
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Form PCT/IPEA/428 (July 1992)